

US005464857A

United States Patent [19]

Maeda et al.

[54] 2-PHENYL-4,4,5,5-TETRAMETHYL-IMIDAZOLINE-1-OXYL-3-OXIDE DERIVATIVES AND THEIR USE AS THERAPEUTIC AGENTS FOR MAINTAINING BLOOD PRESSURE

[75] Inventors: Hiroshi Maeda, Kumamoto; Yoichi Miyamoto, Ibaraki; Takaaki Akaike,

Kumamoto, all of Japan

[73] Assignee: Hiroshi Maeda, Kumamoto, Japan

[21] Appl. No.: 302,872

[22] PCT Filed: Nov. 21, 1994

[86] PCT No.: PCT/JP93/00044

§ 371 Date: **Nov. 21, 1994** § 102(e) Date: **Nov. 21, 1994**

[87] PCT Pub. No.: PCT Pub. No.: WO94/15921PCT Pub. Date: Jul. 21, 1994

[56] References Cited

U.S. PATENT DOCUMENTS

3,697,535	10/1972	Leute et al	548/354.1 X
3,758,495	9/1973	Virkhaus	548/354.1 X
3,765,895	10/1973	Fox	96/088

OTHER PUBLICATIONS

Caneschi et al., Inorg. Chem., vol. 30, pp. 3936-3941 (1991).

Osiecki et al, J. Amer. Chem. Soc., vol. 90, No. 4, pp.

[11] Patent Number:

5,464,857

[45] Date of Patent:

Nov. 7, 1995

1078-1079 (1968).

Shemomura et al., J. Chem. Soc. Perkins Trans, II, pp. 795-798 (1988).

Primary Examiner—Floyd D. Higel Attorney, Agent, or Firm—Wenderoth, Lind & Ponack

[57] ABSTRACT

(1) The imidazoline derivative represented by the following general formula (1)

where R¹ is a substituent endowed with water solubility or fat solubility, particularly carboxyl group or carboxymethoxy group or a pharmaceutically acceptable salt thereof, (2) The method for producing the above-mentioned compound, and (3) A therapeutic agent containing as its active ingredient the above-mentioned imidazoline derivative or an imidazoline derivative wherein R¹ indicated in the formula (1) is hydrogen atom. The imidazoline derivative set forth under (1) above is a novel substance; and this compound itself and its derivative having R¹ as indicated in the general formula (1) is hydrogen atom are useful as a therapeutic agent for treatment of shock from the fall in blood pressure by virtue of their ability to remove excess NO which is the vascular endothelium-derived relaxing factor (EDRF).

11 Claims, No Drawings